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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 18	Current-awareness alerts, saved answer sets, and current search transcripts to be affected by CERAB, COMPUAB, ELCOM, and SOLIDSTATE reloads
NEWS	6	NOV 30	PHAR reloaded with additional data
NEWS	7	DEC 01	LISA now available on STN
NEWS	8	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	9	DEC 15	MEDLINE update schedule for December 2004

NEWS EXPRESS	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN	Welcome Banner and News Items
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NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:02:48 ON 17 DEC 2004

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:02:55 ON 17 DEC 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2004 HIGHEST RN 798532-74-8
DICTIONARY FILE UPDATES: 15 DEC 2004 HIGHEST RN 798532-74-8

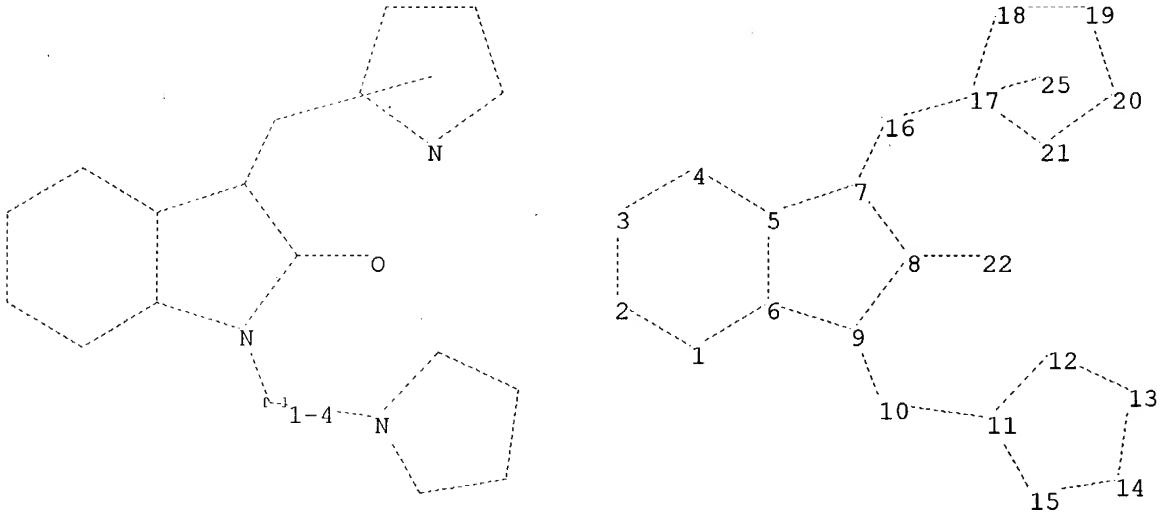
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10743909b.str

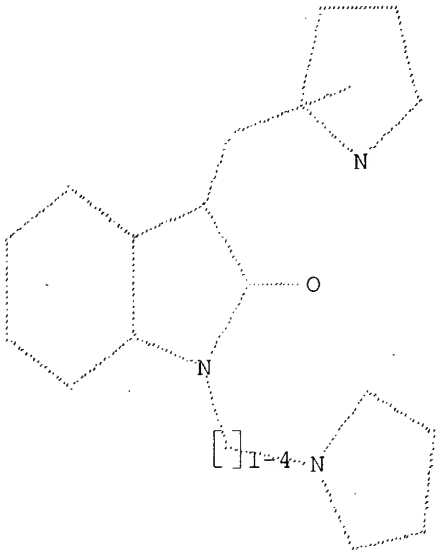


chain nodes :
10 16 22
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 17 18 19 20 21
chain bonds :
7-16 8-22 9-10 10-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-15 12-13 13-14 14-15 17-21 17-18 18-19 19-20 20-21
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-16 8-9 8-22 9-10 10-11 11-12 11-15 12-13 13-14 14-15 17-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 : 11 : 17 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 08:03:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 103 TO ITERATE

100.0% PROCESSED 103 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1452 TO 2668
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:03:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1994 TO ITERATE

100.0% PROCESSED 1994 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

L3 6 SEA SSS FUL L1

=> s l3 and caplus/lc
41713262 CAPLUS/LC
L4 6 L3 AND CAPLUS/LC

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	159.85	160.06

FILE 'CAPLUS' ENTERED AT 08:03:33 ON 17 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 17 Dec 2004 VOL 141 ISS 25
FILE LAST UPDATED: 15 Dec 2004 (20041215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l4
L5 6 L4

=> d ibib abs hitstr 1-6

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:434298 CAPLUS
DOCUMENT NUMBER: 139:12285
TITLE: Pharmaceutical formulations comprising indolinone derivatives
INVENTOR(S): Gao, Ping; Sistla, Anand; Shenoy, Narmada
PATENT ASSIGNEE(S): Sugen, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045307	A2	20030605	WO 2002-US37233	20021121
WO 2003045307	A3	20040115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003176399	A1	20030918	US 2002-300930	20021121
EP 1453502	A2	20040908	EP 2002-784521	20021121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014357	A	20040914	BR 2002-14357	20021121
PRIORITY APPLN. INFO.:			US 2001-331800P	P 20011121
			WO 2002-US37233	W 20021121

OTHER SOURCE(S): MARPAT 139:12285

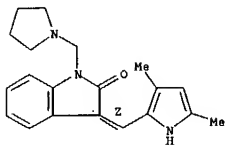
AB The present invention is directed to formulations comprising 3-(pyrrol-2-ylmethylidene)-2-indolinone derivs. that modulate the activity of protein kinases (PK). Methods of treating diseases related to abnormal PK activity utilizing the formulations comprising these compds. and methods of making these formulations are also disclosed. Thus, (3Z)-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylidene]-1-(1-pyrroldinylmethyl)-1,3-dihydro-2H-indol-2-one was prepared by the reaction of pyrrolidine and HCHO with 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylidene)-1,3-dihydro-2H-indol-2-one in MeOH (I). The stability of I was determined A formulation contained
I 1.00, lactic acid to pH 2.0-25, and captisol 20 mg/mL, and water for injection to 1.00 mL.

IT **375387-20-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical formulations comprising indolinone derivs.)

RN 375387-20-5 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-(1-pyrrolidinylmethyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

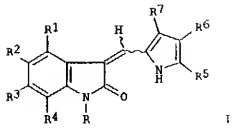
L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:154170 CAPLUS
DOCUMENT NUMBER: 138:180703
TITLE: Combination therapy for the treatment of cancer
INVENTOR(S): Doshi, Parul; Cherrington, Julie
PATENT ASSIGNEE(S): Masferrer, Jaime, USA; Sugen Inc.
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015608	A2	20030227	WO 2002-US25797	20020815
WO 2003015608	A3	20031030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003216410	A1	20031120	US 2002-218910	20020815
BR 2002011978	A	20040720	BR 2002-11978	20020815
PRIORITY APPLN. INFO.:			US 2001-312413P	P 20010815
			WO 2002-US25797	W 20020815

OTHER SOURCE(S): MARPAT 138:180703
GI



I

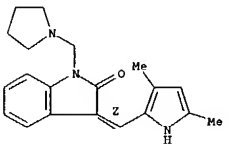
AB The present invention relates to methods for treatment or prevention of neoplasia disorders using protein tyrosine kinase inhibitors in combination with cyclooxygenase inhibitors, in particular cyclooxygenase-2 selective inhibitors. The protein kinase inhibitors are of the formula I where R = H, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, piperidin-1-ylmethyl, etc.; R1 = H, halo, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, etc.; R2 = hydrogen, halo, alkyl, substituted alkyl, trihalomethyl, hydroxy, alkoxy, etc.; R3 = H, halogen, alkyl, substituted alkyl, trihalomethyl, hydroxy, alkoxy, aryl, heteroaryl, etc.; R4 = H, halogen, alkyl, substituted alkyl, hydroxy, alkoxy, etc.; R5 = H, alkyl, substituted alkyl, etc.; R6 = hydrogen, alkyl, substituted alkyl, etc.; and R7 = H, alkyl, substituted alkyl,

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
aryl, heteroaryl, etc.

IT **375387-20-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combination therapy for treatment of cancer using protein tyrosine kinase inhibitors and cyclooxygenase-2 inhibitors)

RN 375387-20-5 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-(1-pyrrolidinylmethyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

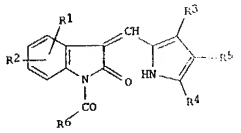


L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:793619 CAPLUS
DOCUMENT NUMBER: 137:294870
TITLE: Preparation of prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinones and activity as modulators of protein kinases
INVENTOR(S): Sun, Connie Li; Wei, Chung Chen; Tang, Peng Cho; Koenig, Marcel; Zhou, Yong; Vojkovsky, Tomas; Nemmalla, Asaad S.
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081466	A1	20021017	WO 2002-US11001	20020409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003100555	A1	20030529	US 2002-118321	20020409
US 6797725	B2	20040928		
US 2004186161	A1	20040923	US 2004-816957	20040405
PRIORITY APPLN. INFO.:			US 2001-282630P	P 20010409
			US 2002-118321	A3 20020409

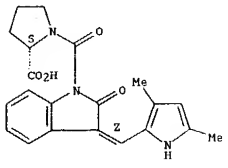
OTHER SOURCE(S): MARPAT 137:294870
GI



I

AB The present invention relates to pyrrole substituted 2-indolinone compds. (shown as I; e.g. 3-[1-(3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydroindole-1-carbonyl chloride) and their pharmaceutically acceptable salts which modulate the activity of protein kinases and

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

therefore are expected to be useful in the prevention and treatment of protein kinase related cellular disorders such as cancer (no data). In I, R1 and R2 are independently H, halo, alkyl, alkylthio, nitro, trihalomethyl, hydroxy, hydroxyalkyl, alkoxy, cyano, aryl, heteroaryl, -C(O)R7 (R7 is alkyl, amino, hydroxy, alkoxy, aryl, heteroaryl, aryloxy, heteroaryloxy, heterocycle, and aminoalkylamino), -NR8R9, -NR8C(O)R9, -SO2R8, and -S(O)ZNR8R9 (R8 and R9 are independently H, alkyl, aryl and heteroaryl, or R8 and R9 together with the N to which they are attached form a satd. heterocycloamino). R3 is H, alkyl, hydroxyalkyl, aminoalkyl, -C(O)R7, aryl, and heteroaryl; R4 is H, alkyl, -C(O)R7 aryl, and heteroaryl. R5 is H and -COR10 where R10 is alkyl, alkoxy, hydroxy, aryl, aryloxy, heteroaryl, heterocycle, alkylamino, dialkylamino, or -NR11R12 where R11 is H or alkyl, and R12 is aminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy group(s); or R4 and R5 together form - (CH2)4- or -(CH2)mCO(CH2)n- wherein n is 0 to 3, provided that n+m is 3. R6 is: (c) -OR13 wherein R13 is alkyl, trifluoromethyl, carboxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, hydroxyalkyl, alkoxyalkyl, aryl, heteroaryl, heteroaralkyl, heterocyclyl, monosaccharides and heterocyclylalkyl wherein the alkyl chain in carboxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, heteroaralkyl, heterocyclylalkyl, hydroxyalkyl, or alkoxyalkyl is optionally substituted with one or two hydroxy group(s) and further wherein one or two C atoms in said alkyl chain are optionally replaced by O, -NR14- (R14 is H or alkyl), -S-, or -SO2-; or. (d) -NR15R16 where are R15 and R16 are independently H, alkyl, carboxyalkyl, alkoxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, hydroxyalkyl, aryl, heteroaryl, heteroaralkyl, and heterocyclylalkyl; wherein the alkyl chain in carboxyalkyl, aminoalkyl, phosphonooxyalkyl, heteroaralkyl, heterocyclylalkyl, hydroxyalkyl, or alkoxyalkyl is optionally substituted with one or two hydroxy group(s) and further wherein one or two C atoms in the alkyl chain are optionally replaced by O, -NR17- (R17 is H or alkyl), -S-, or -SO2-; or R15 and R16 together with the N atom to which they are attached form satd. or unsatd. heterocycloamino;. Although the methods of prepn. are not claimed, >80 example prepns. are included, both of I and the unprotected version of I in which the C(O)R6 group has been replaced by H.

IT **468745-11-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase modulator prodrug; preparation of prodrugs of (pyrrolylmethylidene)indolinones and activity as modulators of protein kinases)

RN 468745-11-1 CAPLUS
CN L-Proline, 1-[[(3Z)-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-2,3-dihydro-2-oxo-1H-indol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:868450 CAPLUS
DOCUMENT NUMBER: 136:5903
TITLE: Preparation of 1-(pyrrolidin-1-ylmethyl)-3-(pyrrol-2-ylmethylidene)-2-indolinones as protein kinase activity modulators.
INVENTOR(S): Moon, Malcolm Wilson; Morozowich, Walter; Gao, Ping
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090104	A2	20011129	WO 2001-US16756	20010524
WO 2001090104	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2409367	AA	20011129	CA 2001-2409367	20010524
AU 2001063399	A5	20011203	AU 2001-63399	20010524
US 2002032204	A1	20020314	US 2001-863804	20010524
US 6710067	B2	20040323		
US 2002035140	A1	20020321	US 2001-863905	20010524
US 6451838	B2	20020917		
US 2002037878	A1	20020328	US 2001-863819	20010524
US 6482848	B2	20021119		
EP 1294711	A2	20030326	EP 2001-937687	20010524
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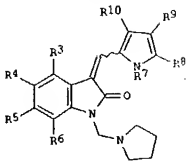
JP 2003534342	T2	20031118	JP 2001-586291	20010524
US 2003045565	A1	20030306	US 2002-243663	20020916
US 2003083363	A1	20030501	US 2002-243942	20020916
US 6716870	B2	20040406		
US 2004127542	A1	20040701	US 2003-429895	20030505
US 2004127544	A1	20040701	US 2003-743909	20031224
PRIORITY APPLN. INFO.:			US 2000-207000P	P 20000524
			US 2000-225045P	P 20000811
			US 2001-863804	A1 20010524
			US 2001-863819	A3 20010524
			US 2001-863905	A1 20010524
			WO 2001-US16756	W 20010524
			US 2002-243663	B1 20020916

OTHER SOURCE(S): MARPAT 136:5903
GI

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

AB Title compds. [I; R3-R6 = H, alkyl, trihaloalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkoxy, SH, alkylthio, arylthio, etc.; ≥2 of R3-R6 = H; R7 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkoxy, aryloxy, etc.; R8-R10 = H, alkyl, trihaloalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkoxy, aryloxy, SH, alkylthio, arylthio, etc.], were prepared Thus, pyrrolidine was added to a mixture of aqueous H2CO and 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylidene)-1,3-dihydroindol-2-one in MeOH: after 15 min. the mixture was cooled to 0° and filtered to give (3Z)-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylidene]-1-(1-pyrrolidinylmethyl)-1,3-dihydro-2H-indol-2-one. The latter prodrug had a half life of 7.3 min. in dogs.

IT **375387-20-5P**

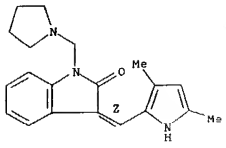
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidinylmethylpyrrolylmethylideneindolinones as protein kinase activity modulators)

RN 375387-20-5 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-(1-pyrrolidinylmethyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:868449 CAPLUS
DOCUMENT NUMBER: 136:5902
TITLE: Preparation of prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinones as modulators of protein kinase activity.
INVENTOR(S): Moon, Malcolm Wilson; Morozowich, Walter; Gao, Ping; Koenig, Marcel
PATENT ASSIGNEE(S): Sugen, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090103	A2	20011129	WO 2001-US16741	20010524
WO 2001090103	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2409430	AA	20011129	CA 2001-2409430	20010524
AU 2001064877	A5	20011203	AU 2001-64877	20010524
US 2002032204	A1	20020314	US 2001-863804	20010524
US 6710067	B2	20040323		
US 2002035140	A1	20020321	US 2001-863905	20010524
US 6451838	B2	20020917		
US 2002037878	A1	20020328	US 2001-863819	20010524
US 6482848	B2	20021119		
EP 1283835	A2	20030219	EP 2001-939349	20010524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003534341	T2	20031118	JP 2001-586290	20010524
US 2003045565	A1	20030306	US 2002-243663	20020916
US 2003083363	A1	20030501	US 2002-243942	20020916
US 6716870	B2	20040406		
US 2004127542	A1	20040701	US 2003-429895	20030505
US 2004127544	A1	20040701	US 2003-743909	20031224

PRIORITY APPLN. INFO.:

US 2000-207000P	P	20000524
US 2000-225045P	P	20000811
US 2001-863804	A1	20010524
US 2001-863819	A3	20010524
US 2001-863905	A1	20010524
WO 2001-US16741	W	20010524
US 2002-243663	B1	20020916

OTHER SOURCE(S): MARPAT 136:5902

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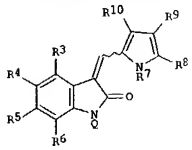
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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AB Title compds. [I; R3-R6 = H, alkyl, trihaloalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkoxy, aryloxy, SH, alkylthio, arylthio, etc., ≥2 of R3-R6 = H; R3R4, R4R5, R5R6 = atoms to form aryl ring, OCH2O, OCH2OCH2; R7 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkoxy, aryloxy, etc.; R8-R10 = H, alkyl, trihaloalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkoxy, aryloxy, SH, arylthio, etc.; Q = CHR11OR21, COR51, OP(O)(ORa)(ORb); R11 = H, alkyl; R21 = H, alkyl, aralkyl, acyl; R51 = alkyl; Ra, Rb = H, alkyl], were prepared as prodrugs for modulators of protein kinase activity (no data). Thus, 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylidene)-1,3-dihydroindol-2-one was stirred 1 h with aqueous H2CO and Et3N in DMF to give (3Z)-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylidene]-1-hydroxymethyl-1,3-dihydro-2H-indol-2-one.

IT **375387-20-5P**

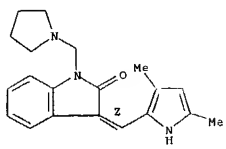
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinones as modulators of protein kinase activity)

RN 375387-20-5 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-(1-pyrrolidinylmethyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:868415 CAPLUS
DOCUMENT NUMBER: 136:697
TITLE: Mannich base prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinone derivatives
INVENTOR(S): Moon, Malcolm Wilson; Morozowich, Walter; Gao, Ping; Tang, Peng Cho
PATENT ASSIGNEE(S): Sugen, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090068	A2	20011129	WO 2001-US16757	20010524
WO 2001090068	A3	20020606		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408709	AA	20011129	CA 2001-2408709	20010524
AU 2001064885	A5	20011203	AU 2001-64885	20010524
US 2002032204	A1	20020314	US 2001-863804	20010524
US 6710067	B2	20040323		
US 2002035140	A1	20020321	US 2001-863905	20010524
US 6451838	B2	20020917		
US 2002037878	A1	20020328	US 2001-863819	20010524
US 6482848	B2	20021119		
EP 1301507	A2	20030416	EP 2001-939357	20010524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003534323	T2	20031118	JP 2001-586257	20010524
US 2003045565	A1	20030306	US 2002-243663	20020916
US 2003083363	A1	20030501	US 2002-243942	20020916
US 6716870	B2	20040406		
US 2004127542	A1	20040701	US 2003-429895	20030505
US 2004127544	A1	20040701	US 2003-743909	20031224

PRIORITY APPLN. INFO.:

US 2000-207000P	P	20000524
US 2000-225045P	P	20000811
US 2001-863804	A1	20010524
US 2001-863819	A3	20010524
US 2001-863905	A1	20010524
WO 2001-US16757	W	20010524
US 2002-243663	B1	20020916

OTHER SOURCE(S): MARPAT 136:697

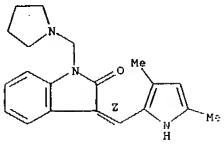
AB The present invention is directed to Mannich base prodrugs of certain 3-(pyrrol-2-ylmethylidene)-2-indolinone derivs. that modulate the activity of protein kinases ("PKs"). Pharmaceutical compns. comprising these compds., methods of treating diseases related to abnormal PK activity utilizing pharmaceutical compns. comprising these compds. and methods of preparing them are also disclosed.

IT **375387-20-5P**

RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic

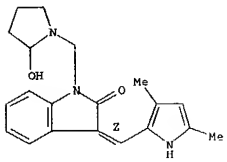
L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Mannich base prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinone derivs.)
RN 375387-20-5 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-(1-pyrrolidinylmethyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **375798-47-3P 375798-48-4P 375798-49-5P**
375798-50-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Mannich base prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinone derivs.)
RN 375798-47-3 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-[(2-hydroxy-1-pyrrolidinyl)methyl]-, (3Z)- (9CI) (CA INDEX NAME)

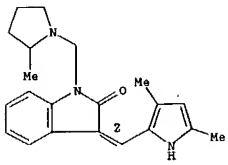
Double bond geometry as shown.



RN 375798-48-4 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-[(2-methyl-1-pyrrolidinyl)methyl]-, (3Z)- (9CI) (CA INDEX NAME)

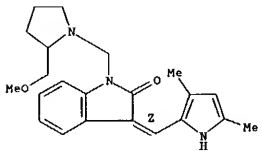
Double bond geometry as shown.

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



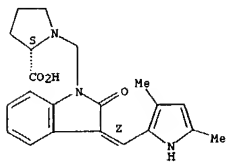
RN 375798-49-5 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-[[2-(methoxymethyl)-1-pyrrolidinyl]methyl]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 375798-50-8 CAPLUS
CN L-Proline, 1-[[(3Z)-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-2,3-dihydro-2-oxo-1H-indol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	32.08	192.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.20	-4.20

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